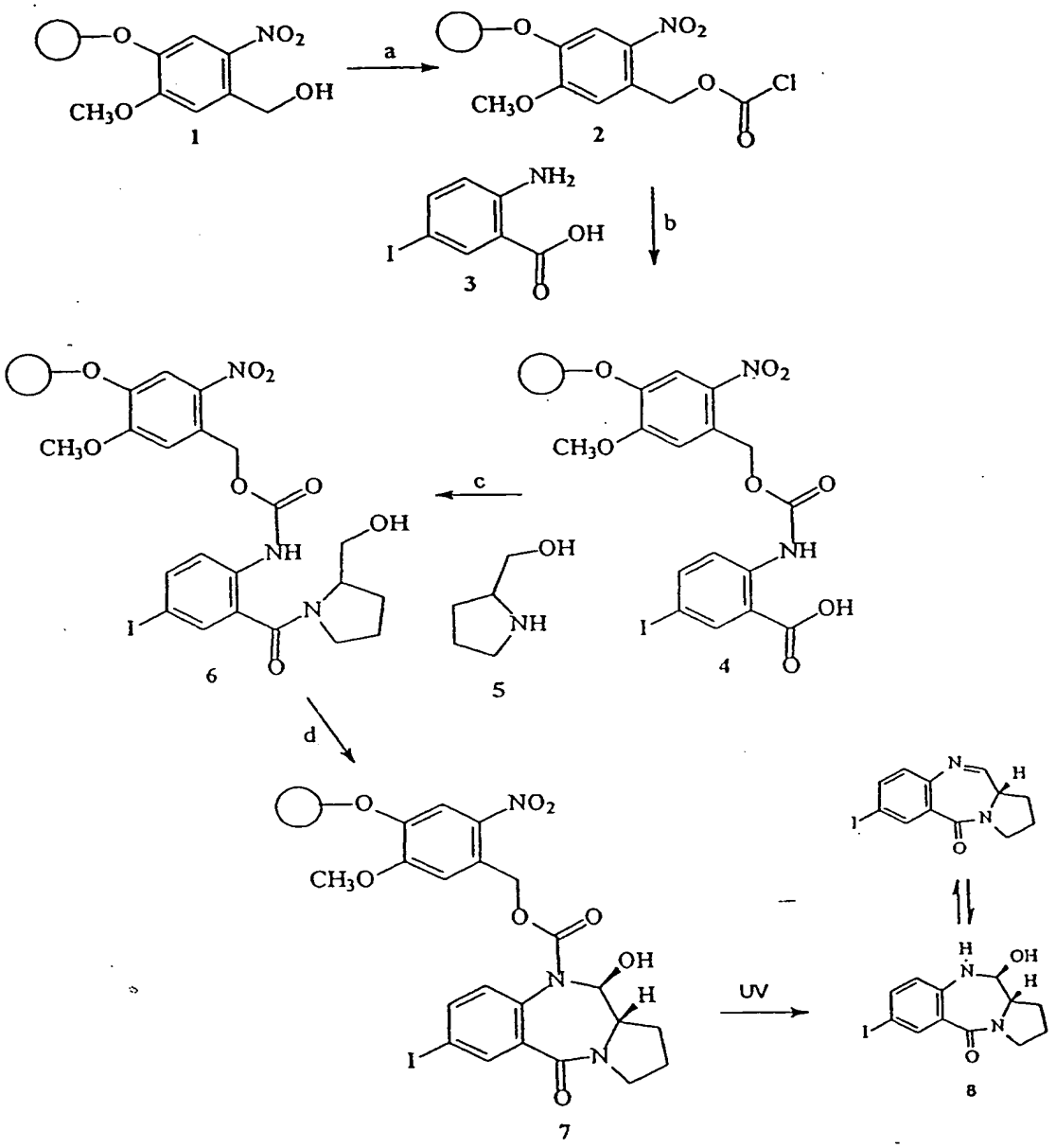
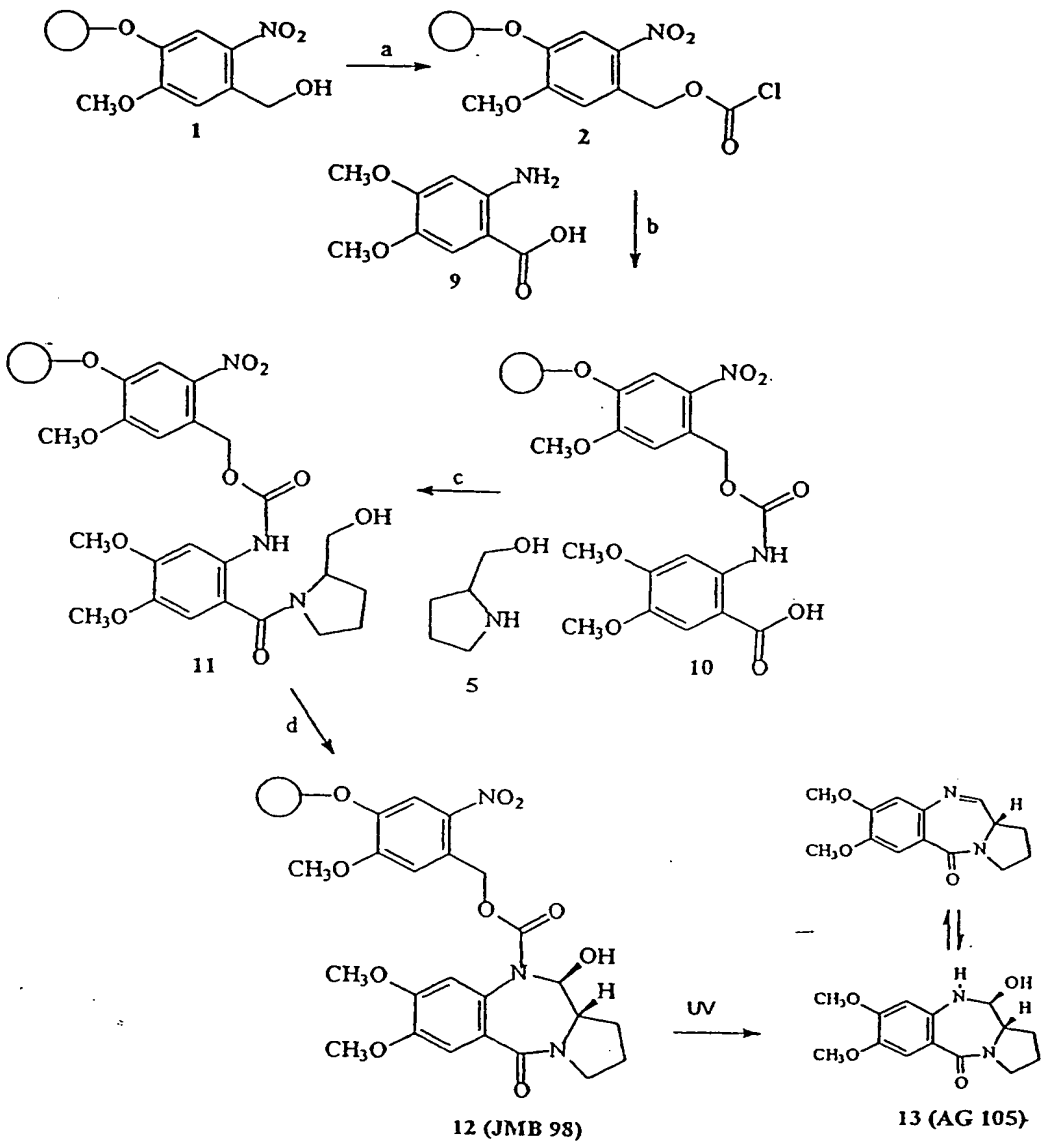


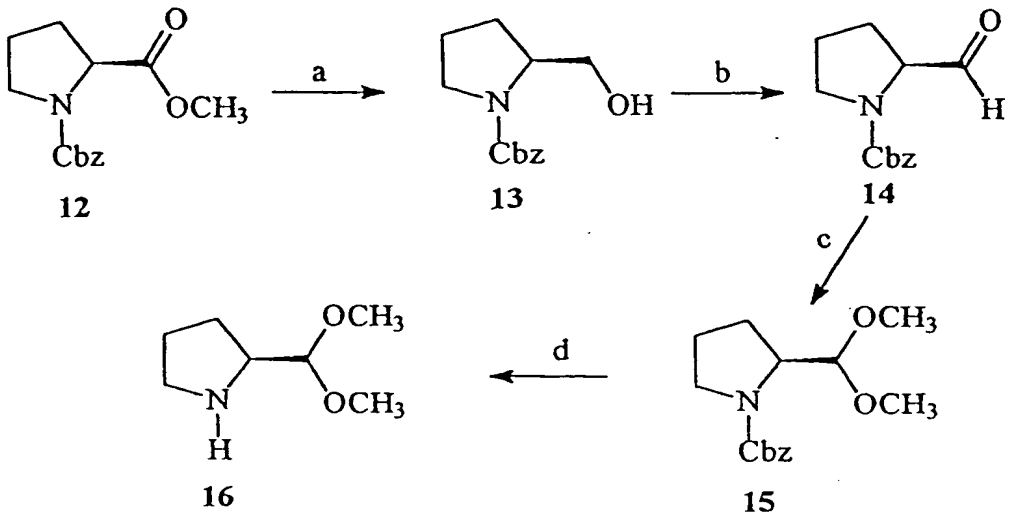
Reagents a: Triphosgene, pyridine, CH_2Cl_2; b: pyridine, CH_2Cl_2; c: TBTU, DIPEA, DMF; d: SO_3.pyridine, TEA, CH_2Cl_2, DMSO.

Fig. 1



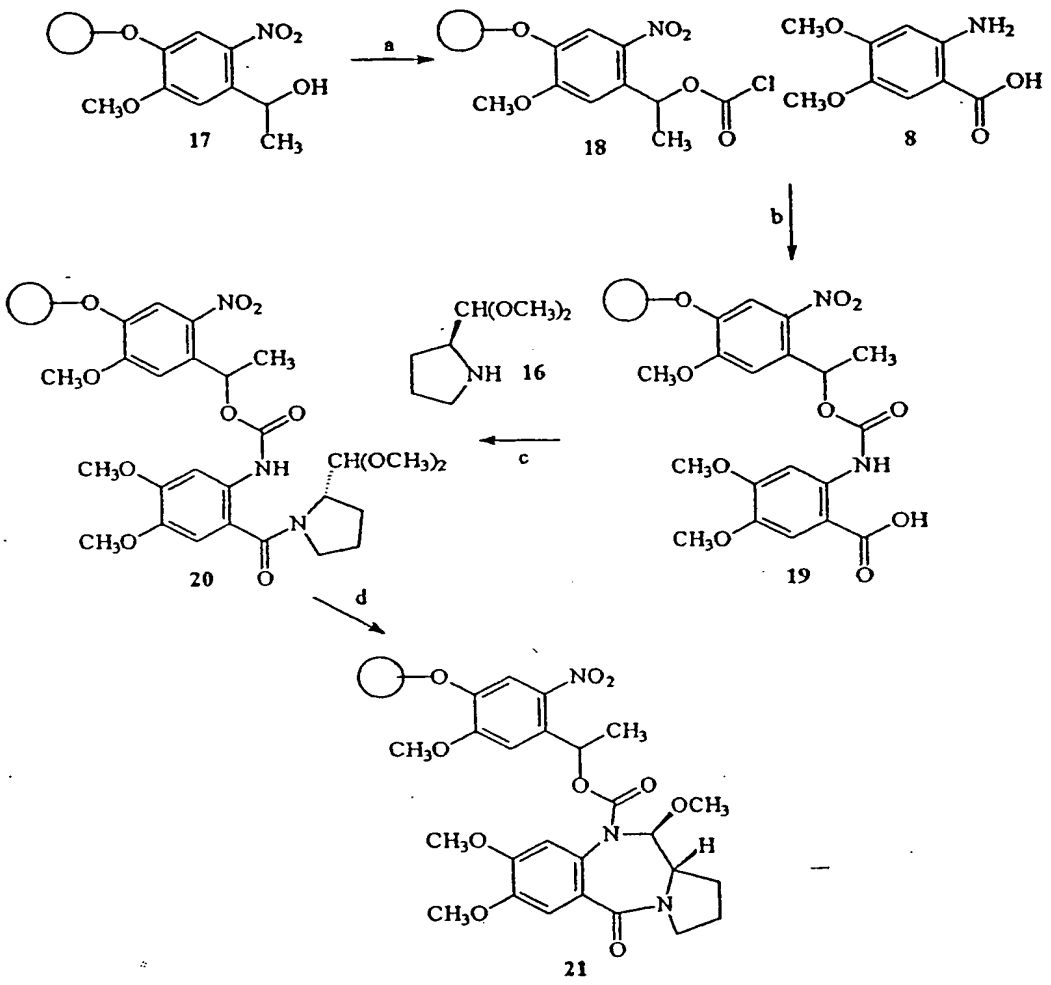
Reagents a: Triphosgene, pyridine, CH_2Cl_2; b: pyridine, CH_2Cl_2; c: TBTU, DIPEA, DMF; d: SO_3.pyridine, TEA, CH_2Cl_2, DMSO.

Fig.2



a: $LiBH_4$, THF; b: SO3.pyridine, TEA, CH_2Cl_2, DMSO; c: MeOH, $SOCl_2$, $CH(OCH_3)_3$; d: (i) Raney Nickel, EtOH, (ii) H_2, Pd-C, EtOH.

Fig.3


NO2
CH3O
OH
CH3
17
a
Cl
18
CH3O
NH2
OH
8
b
CH(OCH3)2
NH 16
c
NH
19
20
d
OCH3
H
N
21

3

Fig.4

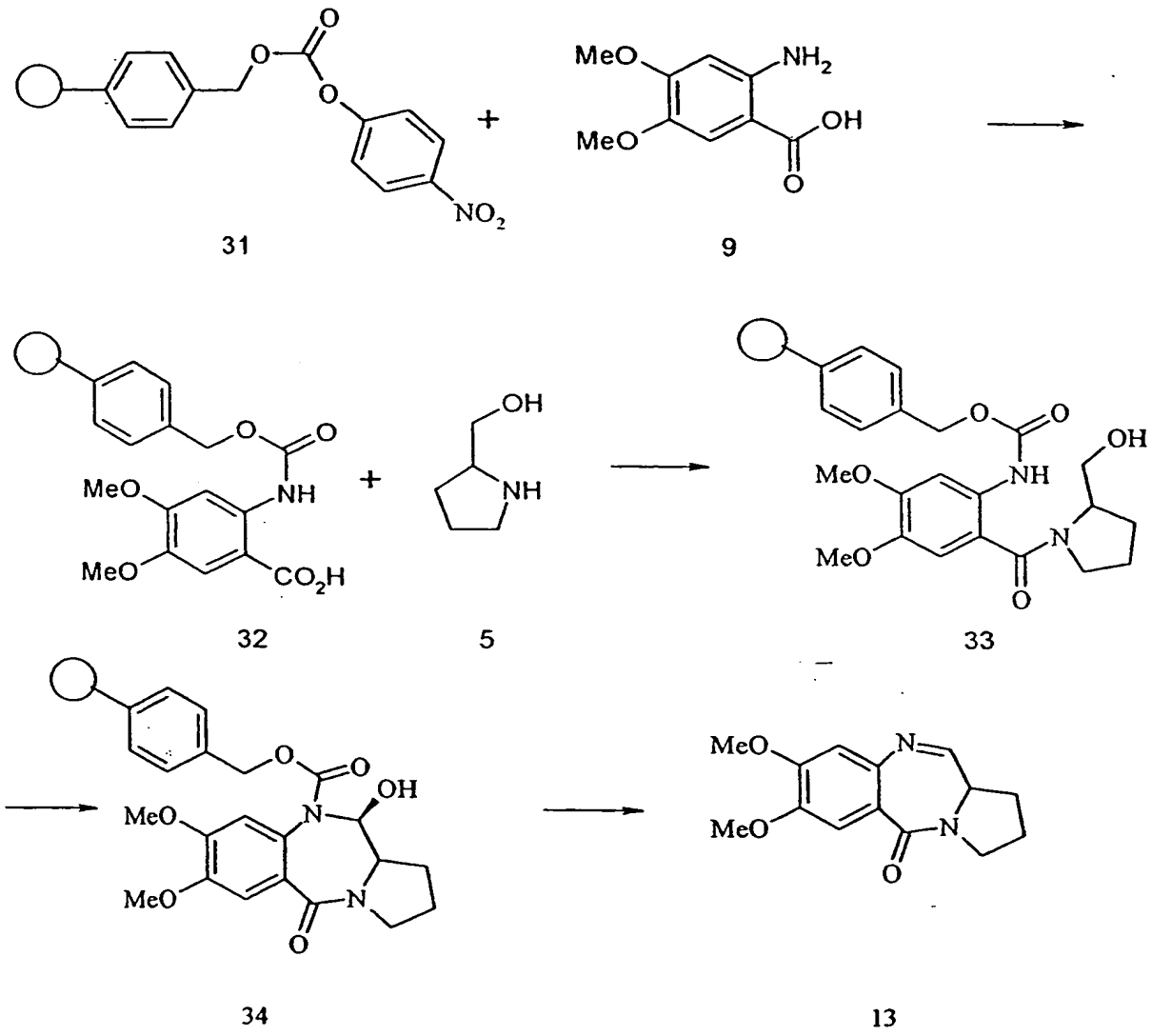

MeO
NH2
OH
MeO
O
NO2
31
9
MeO
NH
MeO
CO2H
OH
NH
32
5
33
MeO
NH
OH
MeO
N
O
MeO
N
OH
MeO
N
O
MeO
N
MeO
N
O
34
13

Fig.5

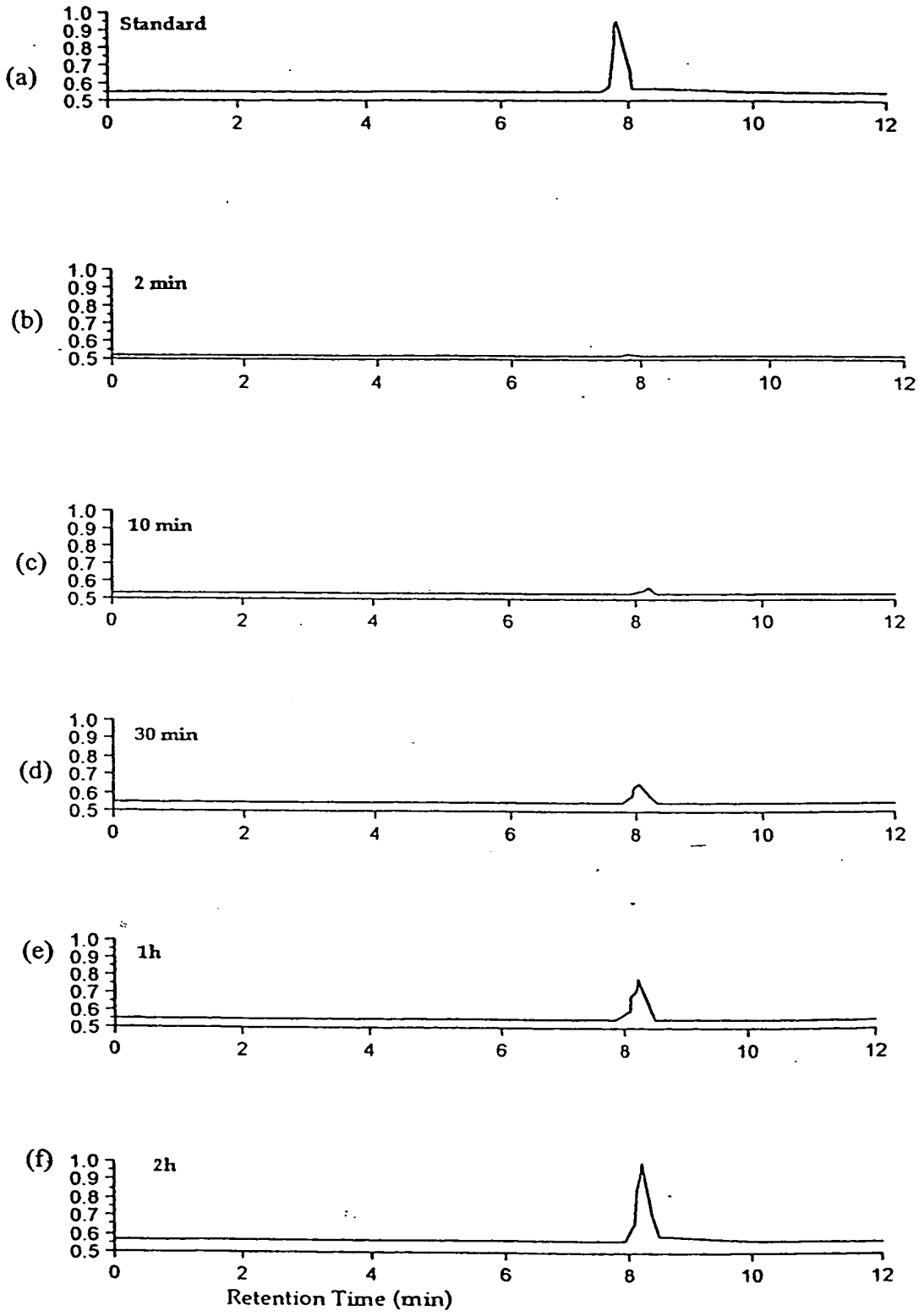

(a)
Standard
(b)
2 min
(c)
10 min
(d)
30 min
(e)
1h
(f)
2h
1.0
0.9
0.8
0.7
0.6
0.5
0
2
4
6
8
10
12
Retention Time (min)

Fig.6

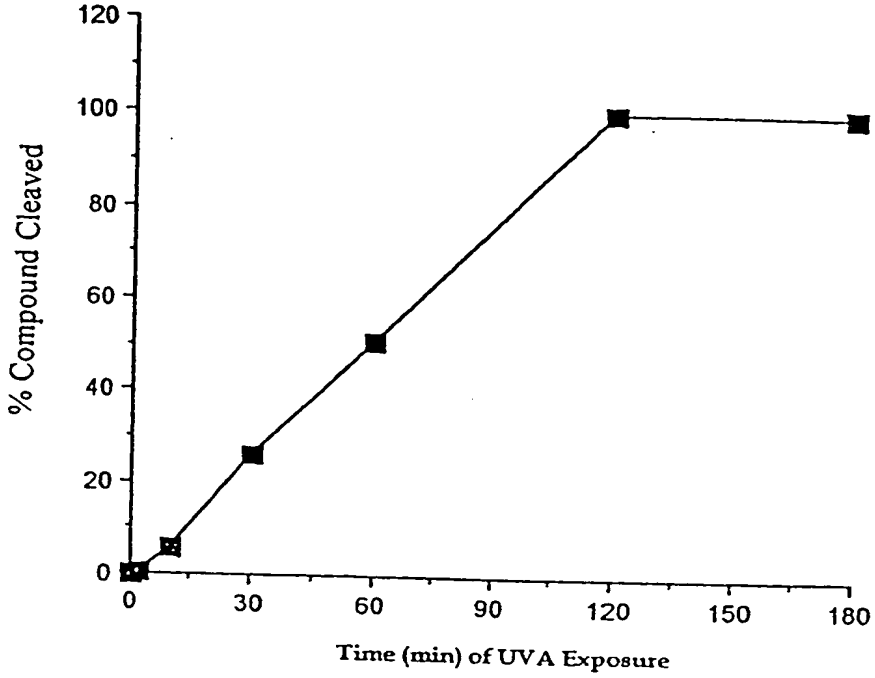
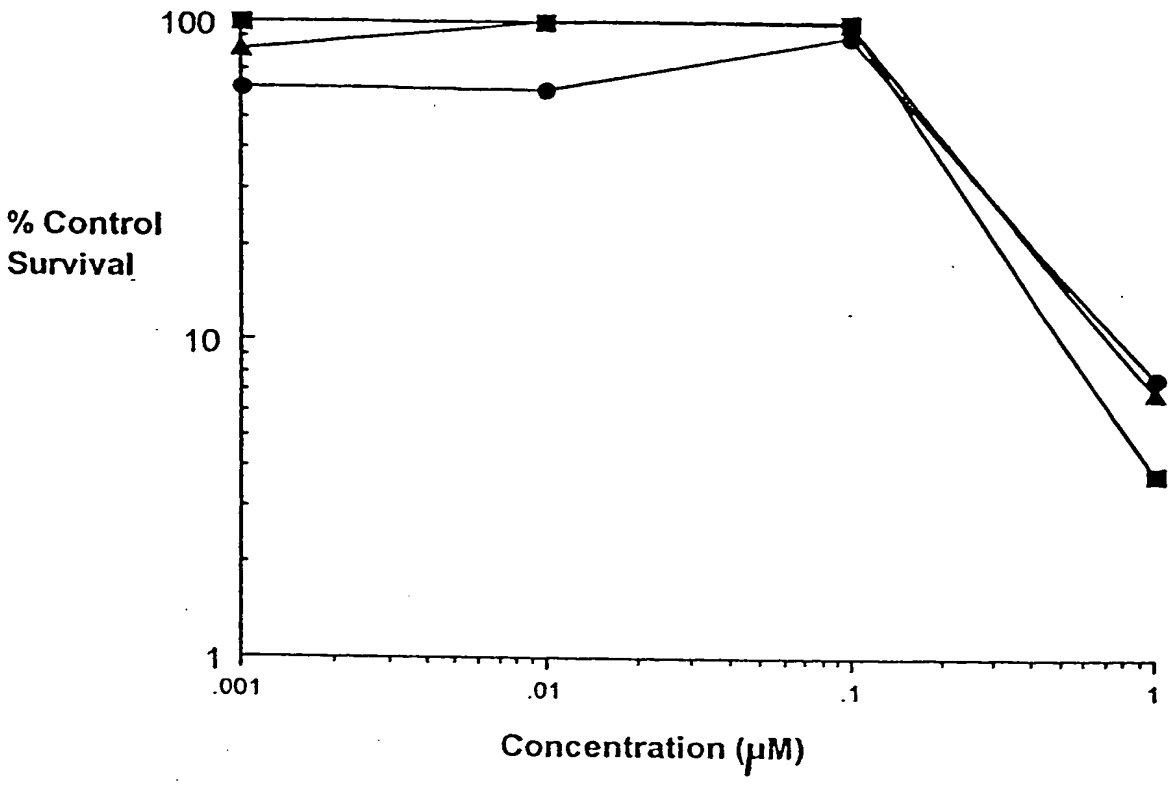

120
100
80
60
40
20
0
% Compound Cleaved
0
30
60
90
120
150
180
Time (min) of UVA Exposure

Fig.7



In vitro cytotoxicity assay for AG 105 (squares); compound 12 + UVA 2h (circles) and compound 12 + UVA 5h (triangles).

Fig.8

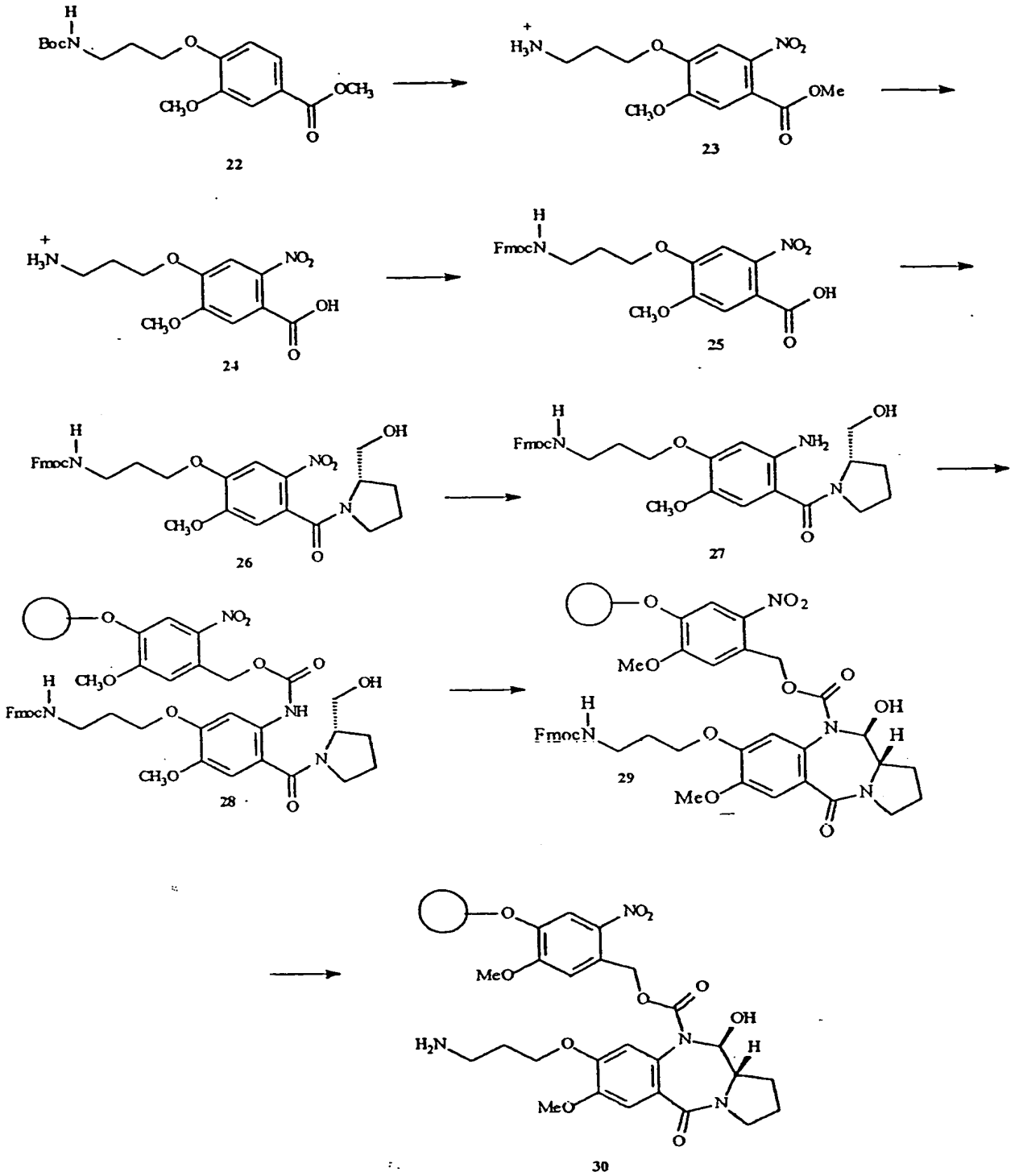


Fig.9

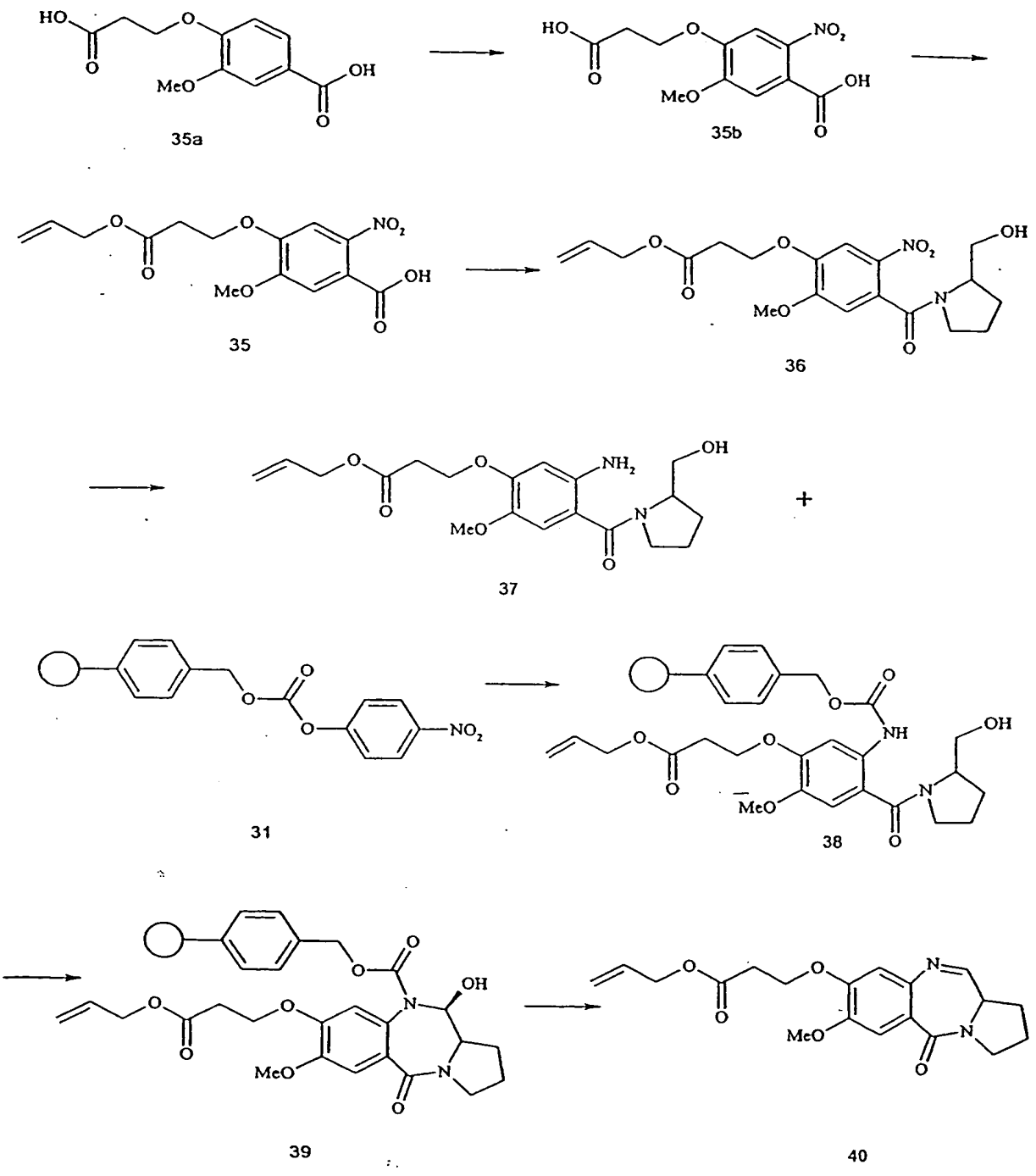


Fig.10

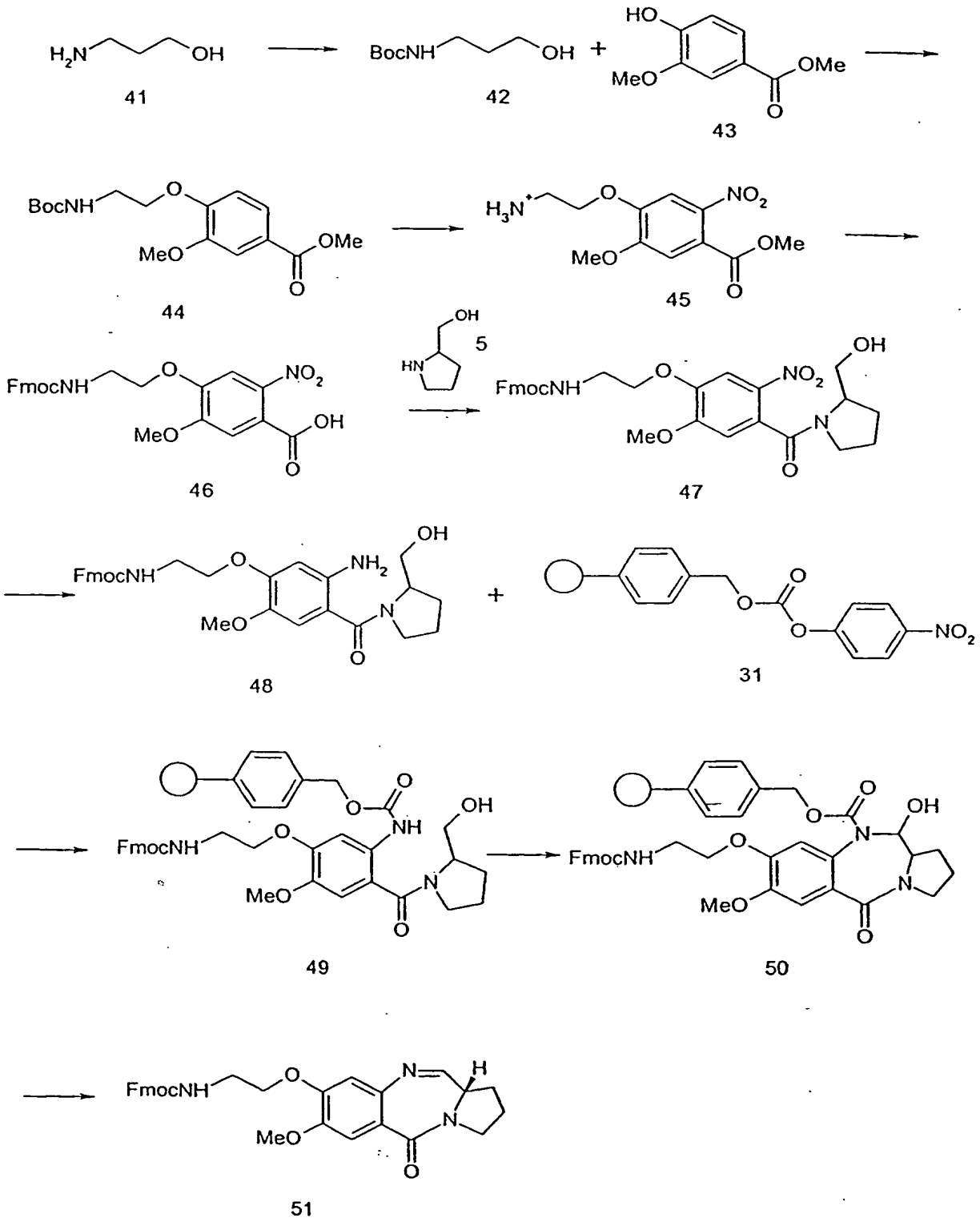

H2N
OH
41
BocNH
OH
42
HO
MeO
OMe
O
43
BocNH
O
MeO
OMe
O
44
H3N+
O
NO2
MeO
OMe
O
45
FmocNH
O
NO2
MeO
OH
O
46
OH
5
HN
FmocNH
O
NO2
OH
MeO
N
O
47
FmocNH
O
NH2
OH
MeO
N
O
48
O
O
O
NO2
31
O
NH
OH
FmocNH
O
MeO
N
O
49
O
N
OH
FmocNH
O
MeO
N
O
50
FmocNH
O
N
H
MeO
N
O
51

Fig.11

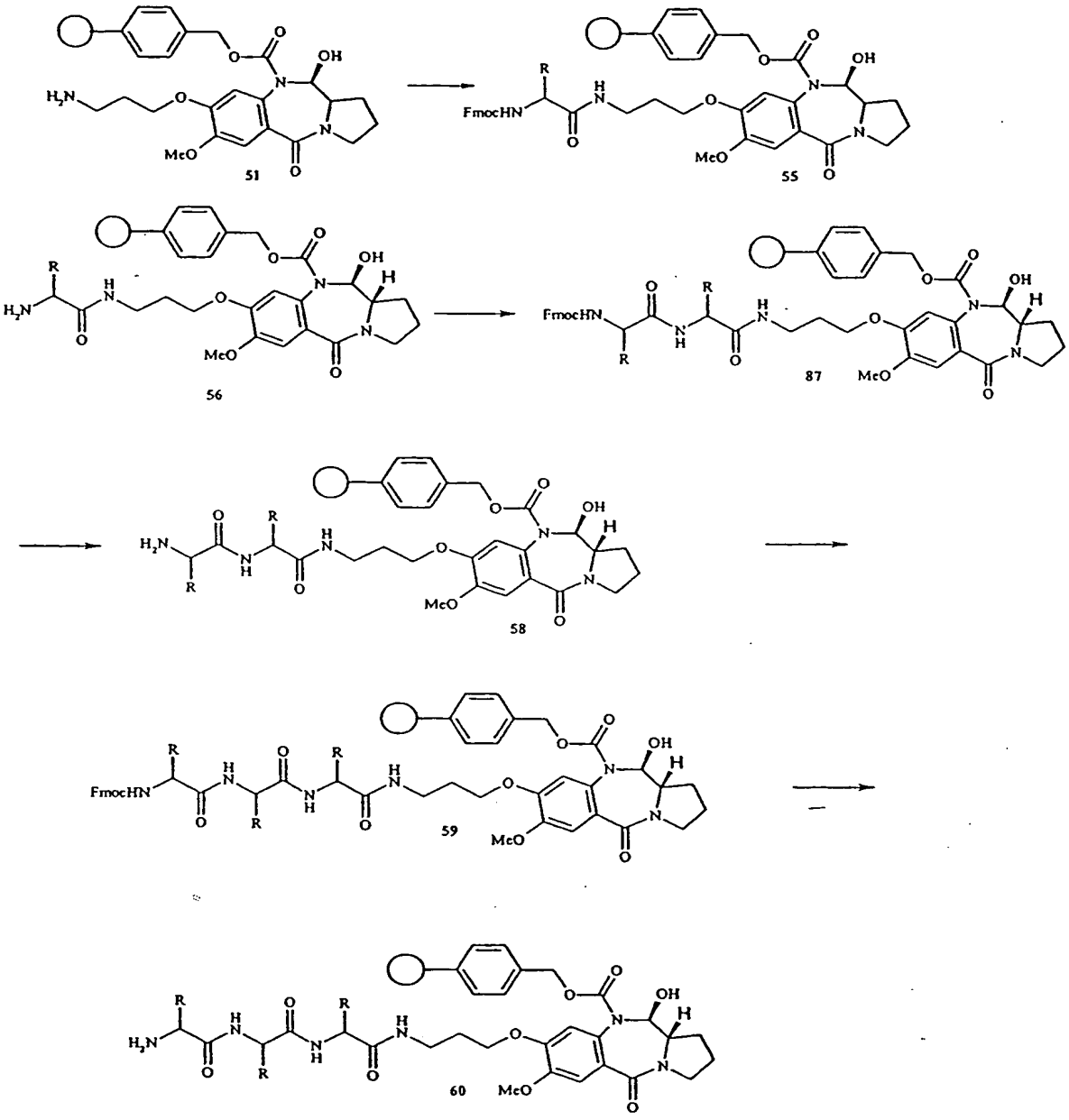


Fig.12